CLAIMS

I claim:

1. Halogenated amino acid analogues for use in diagnosis, which compounds have the general formula

$$X-(CH_2)_n-R(CH_2)_m-CH-COOH$$

| NH₂

wherein:

R is (C_1-C_6) alkyl optionally substituted with thioether or ether oxygen atom when n=0, or a substituted aromatic or heteraromatic ring when n=1-6; and m=0 or 1; and X is a halogen atom.

- 2. The amino acid analogues as claimed in claim 1, wherein R is methyl, ethyl, propyl, isopropyl, butyl, tertiary butyl or methyl thioethyl.
- 3. The amino acid analogues as claimed in claim 1, wherein R is phenyl, hydroxyphenyl, pyridyl, hydroxypyridyl.
- 4. The amino acid analogues as claimed in claim 1, wherein the halogen is radioactive.
- 5. The amino acid analogues as claimed in claim 1, wherein the radioactive halogen atom is $^{18}{\rm F}\,.$
- 6. The amino acid analogues as claimed in claim 1, wherein the radioactive halogen atom is $^{123}\mathrm{I}$.
- 7. The amino acid analogues as claimed in claim 1, wherein the halogen atom is non-radioactive.
- 8. The amino acid analogues as claimed in claim 1, wherein the non-radioactive halogen atom is $^{19}{\rm F}\,.$
 - 9. The amino acid analogues as claimed in claim 1,

[18F] labelled β -2-fluoromethylphenyl- α -aminopropionic acid, [18F] labelled β -3-fluoromethylphenyl- α -aminopropionic acid, [18F] labelled β -4-fluoromethylphenyl- α -aminopropionic acid, [18F] labelled β -2-fluoroethylphenyl- α -aminopropionic acid,

wherein the analogues are selected from the group consisting of

[^{18}F] labelled $\beta\text{--}3\text{--fluoroethylphenyl-}\alpha\text{--aminopropionic}$ acid,

[$^{18}\text{F}\textsc{]}$ labelled $\beta\textsc{-4-fluoroethylphenyl-}\alpha\textsc{-aminopropionic}$ acid,

[^{18}F] labelled $\beta\text{--}2\text{--fluoromethylphenyl-}\alpha\text{--}$ aminopropionic acid,

[18 F] labelled β -3-fluoromethyl-2-pyridyl- α -aminopropionic acid,

[18 F] labelled β -4-fluoromethyl-2-pyridyl- α -aminopropionic acid,

[^{18}F] labelled β -5-fluoromethyl-2-pyridyl- α -aminopropionic acid,

[18 F] labelled β -3-fluoroethyl-2-pyridyl- α -aminopropionic acid,

[18 F] labelled β -4-fluoroethyl-2-pyridyl- α -aminopropionic acid,

[18 F] labelled β -5-fluoroethyl-2-pyridyl- α -aminopropionic acid,

[18F] labelled 2-amino-3-(5-fluoromethyl-3-

hydroxyphenyl)propianoic acid, [¹⁸F] labelled 2-amino-3-(6-fluoromethyl-3-hydroxyphenyl)propianoic acid, [¹⁸F] labelled 2-amino-3-(2-fluoromethyl-4-hydroxyphenyl)propianoic acid, [¹⁸F] labelled 2-amino-3-(2-fluoroethyl-5-hydroxypyridyl)propianoic acid, [¹⁸F] labelled 2-amino-3-(3-fluoroethyl-5-hydroxy-2-pyridyl)propianoic acid, [¹⁸F] labelled 2-amino-3-(5-fluoroethyl-3-hydroxyphenyl)propianoic acid, [¹⁸F] labelled alanine, [¹⁸F] labelled valine, [¹⁸F] labelled leucine, [¹⁸F] labelled isoleucine and [¹⁸F] labelled methionine.

- 10. A pharmaceutical composition comprising one or more amino acid analogues as claimed in claim 1 and an excipient, carrier or diluent.
 - 11. A pharmaceutical composition as claimed in claim

9 for use as a tracer in Positron Emission Tomography (PET) and functional Magnetic Resonance Imaging (MRI).

- 12. Use of the amino acid analogues as claimed in claim 1 in the preparation of a pharmaceutical composition for the diagnosis of cancer.
- 13. Use of the amino acid analogues as claimed in claim 1, wherein the diagnosis is performed by means of Positron Emission Tomography (PET) or functional Magnetic Resonance Imaging (MRI).
- 14. A method for diagnosing a patient for the presence of tumours and/or metastases, which comprises administration of a diagnostic effective amount of one or more of the amino acid analogues as claimed in claim 1, and visualising the localisation of the analogues in the patients body.
- 15. A method as claimed in claim 11, wherein the localisation in the body is performed by means of Positron Emission Tomography (PET) or functional Magnetic Resonance Imaging (MRI).
- 16. Precursor compounds for preparing radiolabeled amino acid analogues as claimed in claim 1, which compounds have the general formula

$$X-(CH_2)_n-R(CH_2)_m-CH-COOH$$
|
NH₂

wherein:

R is (C_1-C_6) alkyl optionally substituted with thioether or ether oxygen atom when n=0, or a substituted aromatic or

heteraromatic ring when n=1-6; and m=0 or 1; and X is a leaving group, in particular tosyl, mesityl, triflate or a halogen; and NH₂ and COOH are protected.

- 17. Precursor compounds as claimed in claim 16, wherein COOH is esterified with a (C_1-C_6) alkyl and NH_2 is protected with a group selected from N-Boc, N-trityl, f-moc.
- 18. Precursor compounds as claimed in claim 16, wherein the (C_1-C_6) alkyl is selected from the group consisting of methyl, ethyl, propyl, isopropyl, tertiary butyl and methyl thioethyl ether.
- 19. Precursor compounds as claimed in claim 16, wherein R is methyl, ethyl, propyl, isopropyl, butyl, tertiary butyl or methyl thioethyl ether.
- 20. Precursor compounds as claimed in claim 16, wherein R is phenyl, hydroxyphenyl, pyridyl, hydroxypyridyl.
- 21. Precursor compounds as claimed in claim 16, wherein the halogen is $^{19}{\rm F}$ or $^{123}{\rm I}$.
- 22. A method for preparing the amino acid analogues as claimed in claim 1, comprising substitution of the leaving group with a radioactive halogen atom.
- 23. A method as claimed in claim 22, wherein substitution takes place by means of aliphatic nucleophilic substitution of tosyl, mesityl or triflate with a radioactive halogen, in particular radioactive fluoride.
- 24. A method as claimed in claim 22, wherein substitution takes place by means of exchange of the halogen leaving group with a radioactive halogen, in particular radioactive fluoride.